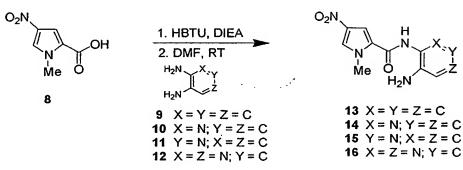
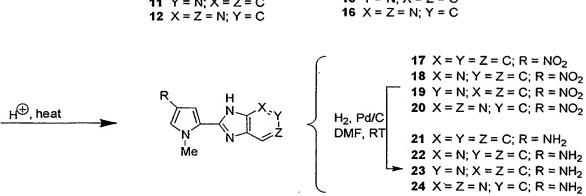
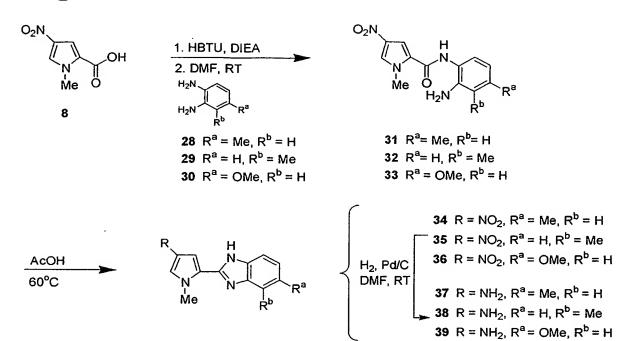
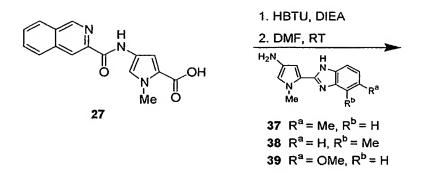
PCT/US2003/033617

Fig. 1









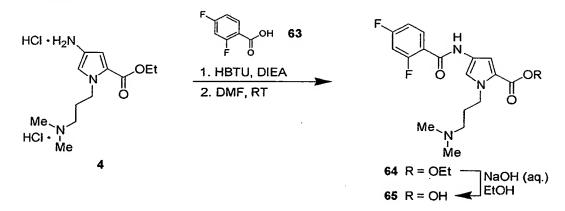
$$H_2N$$
 O_2N
 NH_2
 O_2N
 O_2N

$$\begin{cases} R \\ N \\ N \end{cases}$$

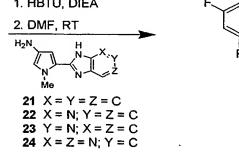
$$\begin{cases} H_2, Pd/C \\ DMF, RT \end{cases}$$

$$\begin{cases} 51 \\ R = NO_2 \\ 52 \\ R = NH_2 \end{cases}$$

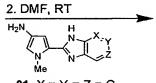
Fig. 16



1. HBTU, DIEA



1. HBTU, DIEA



21
$$X = Y = Z = C$$

22
$$X = N$$
; $Y = Z = C$

24
$$X = Z = N$$
; $Y = C$

A-31
$$X = Y = Z = C$$

A-39
$$X = N; Y = Z = C$$

$$A-45 Y = N; X = Z = C$$

A-46
$$X = Z = N; Y = C$$

76 R = OH

1. MsCl, DIEA, DMF, RT to 40°C

2. Secondary amine, 60°C

Compounds A-32 to A-38

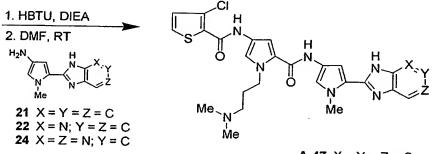
A-33 R =
$$\stackrel{\stackrel{\checkmark}{\sim}}{\sim}_{S}$$

A-36 R =
$$\frac{1}{100}$$
OH

1. MsCl, DIEA, DMF, RT to 40°C

2. Secondary amine, 60°C

Compounds A-23 to A-27



A-47 X = Y = Z = C A-57 X = N; Y = Z = C A-63 X = Z = N; Y = C

A-48 R = OH

1. MsCl, DIEA, DMF, RT to 40°C 2. Secondary

2. Secondary amine, 60°C

Compounds A-49 to A-56

A-49 R =
$$\frac{1}{100}$$
 A-50 R = $\frac{1}{100}$ A-51 R = $\frac{1}{100}$ A-52 R = $\frac{1}{100}$ A-53 R = $\frac{1}{100}$ A-54 R = $\frac{1}{100}$ A-55 R = $\frac{1}{100}$ A-56 R = $\frac{1}{100}$ A-57 R =

89 R = OH

1. MsCl, DIEA, DMF, RT to 40°C

2. Secondary amine, 60°C

Compounds A-58 to A-62

A-60 R =
$$\frac{1}{N}$$